L8 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2002 ACS
AN 2001:115125 CAPLUS
DN 134:178566
TI Preparation of melanocortin-4 receptor binding compounds
IN Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 215 pp.
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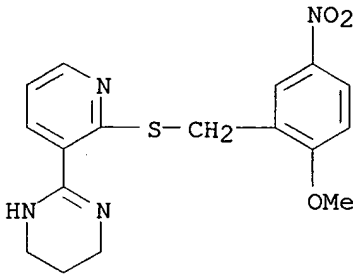
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001010842	A2	20010215	WO 2000-US21327	20000804
	WO 2001010842	A3	20010816		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1204645	A2	20020515	EP 2000-953837	20000804
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000012984	A	20020716	BR 2000-12984	20000804
PRAI	US 1999-147288P	P	19990804		
	US 2000-223277P	P	20000803		
	WO 2000-US21327	W	20000804		

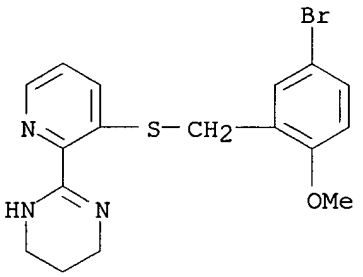
OS MARPAT 134:178566
AB The title compds. of formula B-Z-E [wherein B = an anchor moiety; Z = a central moiety; E = an MC4-R interacting moiety], e.g. I [wherein P2, P3, and P4 = independently CH, CF, CCl, CBr, C(alkyl), C(alkoxy), C(CN), C(OH), or CI; W1 = covalent bond or CH2; W2 = CH2, CHR3, or CR3R4; W3 = CH2, CHR5, or CR5R6; R = H or alkyl; Z1 = CH or covalently linked to Z2 to form a naphthyl ring; Z2 = CH, C(C.tplbond.CH), CCl, CBr, CI, CF, or covalently linked to Z1 to form a naphthyl ring; Z5 = CH or C(OMe); R3-R6 = independently Me or Et], were prepd. and tested as melanocortin-4 receptor (MC4-R) binding agonists and antagonists. For example, .alpha.-tolunitrile in THF was added to a soln. of diisopropylamine in THF, which had been cooled to -78.degree.C and treated with BuLi. HMPA and 1-chloromethylnaphthalene in THF were added, the reaction cooled and stirred for 1 h, and the reaction quenched with H2O to give 2-(2-naphthalen-1-ylethyl)benzonitrile. Treatment with H2S and 1,3-diaminopropane, followed by heating to 80.degree.C for 72 h and work up, gave II. In a scincillation proximity assay (SPA) using high-throughput receptor binding screening, II showed exemplary inhibition of MC4-R. The invention compds., primarily 2-(2-arylalkylsulfanylphenyl)-4,5-dihydro-1H-imidazole and 1,4,5,6-tetrahydropyrimidine derivs., are useful in the treatment of disorders assocd. with wt. loss and pigmentation (no data).
IT **325800-74-6P**, 2-[2-(2-Methoxy-5-nitrobenzylsulfanyl)pyridin-3-yl]-1,4,5,6-tetrahydropyrimidine **325823-83-4P**, 2-[3-(5-Bromo-2-methoxybenzylsulfanyl)pyridin-2-yl]-1,4,5,6-tetrahydropyrimidine **326481-18-9P 326483-15-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. and high throughput MC4-R receptor binding screening of arylalkylsulfanylphenyl-substituted imidazoles and pyrimidines and analogs)

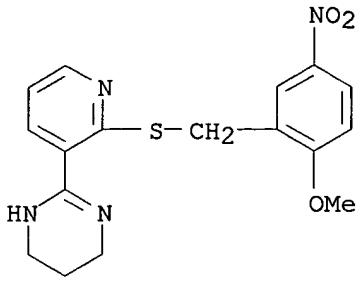
RN 325800-74-6 CAPLUS
CN Pyrimidine, 1,4,5,6-tetrahydro-2-[2-[[(2-methoxy-5-nitrophenyl)methyl]thio]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 325823-83-4 CAPLUS
CN Pyrimidine, 2-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]-2-pyridinyl]-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 326481-18-9 CAPLUS
CN Pyrimidine, 1,4,5,6-tetrahydro-2-[2-[[(2-methoxy-5-nitrophenyl)methyl]thio]-3-pyridinyl]-, monohydrobromide (9CI) (CA INDEX NAME)

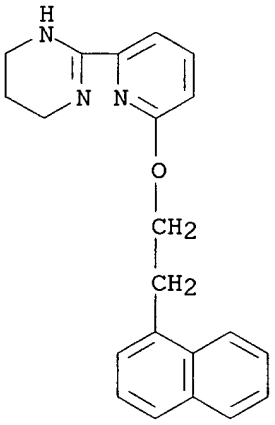


● HBr

RN 326483-15-2 CAPLUS
CN Formic acid, compd. with 1,4,5,6-tetrahydro-2-[6-[2-(1-naphthalenyl)ethoxy]-2-pyridinyl]pyrimidine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 326483-14-1
CMF C21 H21 N3 O



CM 2

CRN 64-18-6
CMF C H2 O2